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LOGINID: SSPTAEAL1624

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TERMINAL (ENTER 1, 2, 3, OR ?):2

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* * * * * * * * * *
                    Welcome to STN International
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                 Web Page for STN Seminar Schedule - N. America
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NEWS 3 AUG 06 FSTA enhanced with new thesaurus edition
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                 patents
NEWS 5 AUG 20
                CA/CAplus enhanced with CAS indexing in pre-1907 records
NEWS 6 AUG 27 Full-text patent databases enhanced with predefined
                 patent family display formats from INPADOCDB
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NEWS 11
         SEP 13
                 INPADOCDB enhanced with monthly SDI frequency
NEWS 12 SEP 17 CA/CAplus enhanced with printed CA page images from
                 1967-1998
NEWS 13 SEP 17 CAplus coverage extended to include traditional medicine
                 patents
NEWS 14 SEP 24 EMBASE, EMBAL, and LEMBASE reloaded with enhancements
NEWS 15 OCT 02 CA/CAplus enhanced with pre-1907 records from Chemisches
                 Zentralblatt
NEWS 16 OCT 19 BEILSTEIN updated with new compounds
NEWS 17 NOV 15 Derwent Indian patent publication number format enhanced
NEWS 18 NOV 19 WPIX enhanced with XML display format
NEWS 19 NOV 30 ICSD reloaded with enhancements
NEWS 20 DEC 04 LINPADOCDB now available on STN
NEWS 21 DEC 14 BEILSTEIN pricing structure to change
NEWS 22 DEC 17 USPATOLD added to additional database clusters
NEWS 23 DEC 17 IMSDRUGCONF removed from database clusters and STN
NEWS 24 DEC 17 DGENE now includes more than 10 million sequences
NEWS 25 DEC 17 TOXCENTER enhanced with 2008 MeSH vocabulary in
                 MEDLINE segment
NEWS 26 DEC 17 MEDLINE and LMEDLINE updated with 2008 MeSH vocabulary
NEWS 27
         DEC 17 CA/CAplus enhanced with new custom IPC display formats
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NEWS 28 DEC 17 STN Viewer enhanced with full-text patent content from USPATOLD

NEWS 29 JAN 02 STN pricing information for 2008 now available

NEWS EXPRESS 19 SEPTEMBER 2007: CURRENT WINDOWS VERSION IS V8.2, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 19 SEPTEMBER 2007.

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FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

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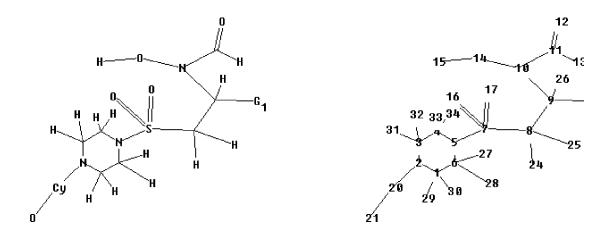
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http://www.cas.org/support/stngen/stndoc/properties.html

=>

Uploading C:\Program Files\Stnexp\Queries\10561747.str



```
chain nodes :
7 8 9 10 11 12 13 14 15 16 17 19 20 21 23 24 25 26 27 28 29
30 31 32 33 34
ring nodes :
1 2 3 4 5 6
chain bonds :
1-29 \quad 1-30 \quad 2-20 \quad 3-31 \quad 3-32 \quad 4-33 \quad 4-34 \quad 5-7 \quad 6-27 \quad 6-28 \quad 7-8 \quad 7-16 \quad 7-17 \quad 8-9
8-24 8-25 9-10 9-19 9-26 10-11 10-14 11-12 11-13 14-15 20-21 21-23
ring bonds :
1-2 1-6 2-3
                 3-4 4-5 5-6
exact/norm bonds :
1-2 \quad 1-6 \quad 2-3 \quad 2-20 \quad 3-4 \quad 4-5 \quad 5-6 \quad 5-7 \quad 7-8 \quad 7-16 \quad 7-17 \quad 9-10 \quad 9-19 \quad 10-11 \quad 10-14
11-12 20-21 21-23
exact bonds :
1-29 \quad 1-30 \quad 3-31 \quad 3-32 \quad 4-33 \quad 4-34 \quad 6-27 \quad 6-28 \quad 8-9 \quad 8-24 \quad 8-25 \quad 9-26 \quad 11-13 \quad 14-15
isolated ring systems :
containing 1 :
```

G1:Cy,Ak

G2:Cb,Ak

Match level:
1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS 8:CLASS 9:CLASS 10:CLASS
11:CLASS 12:CLASS 13:CLASS 14:CLASS 15:CLASS 16:CLASS 17:CLASS 19:CLASS
20:Atom 21:CLASS 24:CLASS 25:CLASS 26:CLASS 27:CLASS 28:CLASS 29:CLASS 30:CLASS 31:CLASS 32:CLASS 34:CLASS 34:CLASS

L1 STRUCTURE UPLOADED

=> s 11 full1

COMBINATION OF STRUCTURE AND TEXT TERMS NOT VALID
The query entered contains both search terms created by
structure-building or screen commands and text search terms. L#s
created via the STRUCTURE or SCREEN commands must be searched in the
structures files separately from text terms or profiles. The L#
answer sets from structure searches can be used in crossover searches
and can be combined with text terms.

=> s 11 full

FULL SEARCH INITIATED 18:13:51 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 837 TO ITERATE

100.0% PROCESSED 837 ITERATIONS 51 ANSWERS

SEARCH TIME: 00.00.01

L2 51 SEA SSS FUL L1

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COST IN U.S. DOLLARS
SINCE FILE TOTAL
ENTRY SESSION
FULL ESTIMATED COST 178.82 179.03

FILE 'CAPLUS' ENTERED AT 18:13:55 ON 15 JAN 2008
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=> s 12 full

L3 3 L2

=> d ibib abs hitstr tot

L3 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2006:630134 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 145:103718

TITLE: Preparation of (piperazinylsulfonylmethyl)alkynyl hydroxamates and analogs as matrix metalloprotease

inhibitors and medical uses thereof

INVENTOR(S): Swinnen, Dominique; Bombrun, Agnes; Gerber, Patrick;

Jorand-Lebrun, Catherine

PATENT ASSIGNEE(S): Applied Research Systems Ars Holding N.V., Neth.

Antilles

SOURCE: PCT Int. Appl., 151 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

GI

PA	TENT :	NO.			KIND DATE						ICAT	DATE						
WO	WO 2006067114				A1	_	2006	0629					2	 0051	219			
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		CN,	CO,	CR,	CU,	CZ,	DE,	DK,	DM,	DZ,	EC,	EE,	EG,	ES,	FI,	GB,	GD,	
		GE,	GH,	GM,	HR,	ΗU,	ID,	IL,	IN,	IS,	JP,	ΚE,	KG,	KM,	KN,	KP,	KR,	
		KΖ,	LC,	LK,	LR,	LS,	LT,	LU,	LV,	LY,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	
		MZ,	NA,	NG,	NΙ,	NO,	NZ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	
		SG,	SK,	SL,	SM,	SY,	ТJ,	TM,	TN,	TR,	TT,	TZ,	UA,	UG,	US,	UZ,	VC,	
		VN,	YU,	ZA,	ZM,	ZW												
	RW:	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,	EE,	ES,	FΙ,	FR,	GB,	GR,	HU,	ΙE,	
		IS,	ΙΤ,	LT,	LU,	LV,	MC,	NL,	PL,	PT,	RO,	SE,	SI,	SK,	TR,	BF,	ВJ,	
		CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,	SN,	TD,	ΤG,	BW,	GH,	
		GM,	KE,	LS,	MW,	MZ,	NA,	SD,	SL,	SZ,	TZ,	UG,	ZM,	ZW,	AM,	AZ,	BY,	
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AU	2005	3181	63		A1		2006	0629		AU 2	005-	3181	20051219					
CA	CA 2589367						2006	0629		CA 2	005-	2589	20051219					
EP	EP 1828160				A1		2007	0905		EP 2	005-	8263		20051219				
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			HR,															
	KR 2007095950						2007	1001	KR 2007-716256							0070		
PRIORIT	RIORITY APPLN. INFO.:								EP 2004-106814									
										US 2	004-	6382		P 2	0041	222		
										WO 2	005-	EP56	910	•	W 2	0051	219	
OTHER S	THER SOURCE(S):						MARPAT 145:103718											

ΙI

AΒ Title compds. I [wherein A, B = (un) substituted CH2; R1 = (hetero) aryl or (hetero)cycloalkyl; R2 = H, alkyl, alkenyl or alkynyl; R3 = H, alkyl, (hetero)aryl, etc.; X = C, CH or N; Y = CH, CH2, -C=CH-, etc.; m = 0-2, n = 0-1; p = 1-2] and stereoisomers or pharmaceutically acceptable salts thereof were prepared as matrix metalloprotease (MMP) inhibitors. Some related intermediates were claimed. For instance, successive lithiation of 1-(4fluorophenyl)-4-(methylsulfonyl)piperazine with lithium bis(trimethylsilyl)amide, reaction with di-Et chlorophosphate, olefination with 3-(1,3-Benzodioxol-5-yl)-2-propynal (69% yield for three steps), nucleophilic addition of the resultant α, β -unsatd. sulfone with hydroxylamine (81% yield), and N-formylation with formic acetic anhydride generated in situ from acetic anhydride and formic acid (50% yield) gave hydroxamate II. This product showed inhibition against MMP-1 and MMP-12 with IC50 values of > 5000 nM and 46 nM, resp. Other biol. activities were also disclosed. Therefore, I and their pharmaceutical compns. are useful for the treatment and/or prophylaxis of autoimmune disorders, inflammatory diseases, cardiovascular diseases, neurodegenerative diseases, cancer, respiratory diseases and fibrosis.

IT 895573-30-5P 895573-54-3P 895573-58-7P 895573-63-4P 895573-92-9P 895573-94-1P 895574-00-2P 895574-01-3P 895574-08-0P 895574-16-0P 895574-21-7P 895574-24-0P 895574-30-8P 895574-31-9P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of (piperazinylsulfonylmethyl)alkynyl hydroxamates and analogs as matrix metalloprotease inhibitors and medical uses thereof)

RN 895573-30-5 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]-4-[4-(phenylmethoxy)phenyl]- (9CI) (CA INDEX NAME)

895573-54-3 CAPLUS

RN

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(3-pyridinyl)-3-butynyl]sulfonyl]-4-(4-methoxyphenyl)-(9CI) (CA INDEX NAME)

RN 895573-58-7 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(3-methoxyphenyl)-3-butynyl]sulfonyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 895573-63-4 CAPLUS

CN Piperazine, 1-[[5-(diethylamino)-2-(formylhydroxyamino)-3-pentynyl]sulfonyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

$$\begin{array}{c} \text{Et}_2\text{N-CH}_2\text{-C} \\ \text{OHC-N} \\ \text{OH} \end{array}$$

RN 895573-92-9 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-phenyl-3-butynyl]sulfonyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 895573-94-1 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]-4-(4-methoxyphenyl)- (9CI) (CA INDEX NAME)

Me_ (CH₂)₄_C = C_CH_CH₂_
$$\frac{1}{1}$$
 OM OM OHC $\frac{1}{1}$

RN 895574-00-2 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 895574-01-3 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]-4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 895574-08-0 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]-4-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

PhO
$$\sim$$
 CH₂-CH-C \sim C- (CH₂) 4-MeV \sim CHO \sim CHO

RN 895574-16-0 CAPLUS

CN Piperazine, 1-(4-ethoxyphenyl)-4-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 895574-21-7 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-phenyl-3-butynyl]sulfonyl]-4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 895574-24-0 CAPLUS

CN Piperazine, 1-(4-ethoxyphenyl)-4-[[2-(formylhydroxyamino)-4-phenyl-3-butynyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 895574-30-8 CAPLUS

CN Piperazine, 1-(4-ethoxyphenyl)-4-[[2-(formylhydroxyamino)-3,3-dimethyl-6-phenyl-5-hexynyl]sulfonyl]- (9CI) (CA INDEX NAME)

RN 895574-31-9 CAPLUS

CN Piperazine, 1-(3,4-dimethoxyphenyl)-4-[[2-(formylhydroxyamino)-3-nonynyl]sulfonyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2005:14380 CAPLUS Full-text

DOCUMENT NUMBER: 142:114099

TITLE: Preparation of N-[(4-substituted piperazine-1-

sulfonylmethyl)alkyl]-N-hydroxyformamides as

metalloproteinase inhibitors

INVENTOR(S): Finlay, Maurice Raymond Verschoyle; Waterson, David

PATENT ASSIGNEE(S): AstraZeneca AB, Swed.; AstraZeneca UK Limited

SOURCE: PCT Int. Appl., 57 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PAT	KIND DATE					APPL	ICAT	ION 1		DATE									
WO	2005	0008	22		A1 20050106				WO 2	 004-	 GB27	02		20040623					
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		LK,	LR,	LS,	LT,	LU,	LV,	MA,	MD,	MG,	MK,	MN,	MW,	MX,	MZ,	NA,	NΙ,		
		NO,	NΖ,	OM,	PG,	PH,	PL,	PT,	RO,	RU,	SC,	SD,	SE,	SG,	SK,	SL,	SY,		
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		ΑZ,	BY,	KG,	KΖ,	MD,	RU,	ΤJ,	TM,	ΑT,	BE,	BG,	CH,	CY,	CZ,	DE,	DK,		
		EE,	ES,	FI,	FR,	GB,	GR,	HU,	ΙE,	ΙT,	LU,	MC,	NL,	PL,	PT,	RO,	SE,		
		SI,	SK,	TR,	BF,	ВJ,	CF,	CG,	CI,	CM,	GΑ,	GN,	GQ,	GW,	ML,	MR,	ΝE,		
		SN,	TD,	ΤG															
	2004251104																		
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EP	1644340				A1 20060412					EP 2	004-	7430	53		20040623				
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									BR 2004-11929										
JP	2007	5161	64		Τ		2007	0621		JP 2	006-	5164	67		20040623				
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US 2007197542					A1		2007	0823		US 2005-561747									
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ORIT	APP:	LN.	INFO	.:											A 2				
										WO 2	004-	GB27	1	W 2	0040	623			
1D 00	TIDOT	101			1.475 151	7 A CD	1 10	1110	0.0										

OTHER SOURCE(S): MARPAT 142:114099

GΙ

$$\mathbb{F}^{3C} \longrightarrow \mathbb{N} \longrightarrow \mathbb{$$

The title compds. I [ring B = monocyclic aryl ring having six ring atoms or a monocyclic heteroaryl ring having up to six ring atoms and containing one or more ring heteroatoms wherein each said heteroatom is nitrogen; R2 = alkyl or aryl, which said group is substituted by one or more fluorine groups; n = 1-3; R1 = (un)substituted alkyl, cycloalkyl, heterocycloalkyl, aryl, heteroaryl, alkyl-aryl, alkyl-heteroaryl, alkyl-cycloalkyl or alkyl-heterocycloalkyl], useful in the treatment of a disease condition mediated by one or more metalloproteinase enzymes, were prepared E.g., a multi-step synthesis of (S)-II, starting from 5-iodo-2-[4- (methylsulfonyl)piperazin-1-yl]pyrimidine, was given. In general, the compds. I demonstrate IC50 values in the range of 0.01 to 1000 nM against collagenase 3. The pharmaceutical composition comprising the compound I is disclosed.

IT 823197-00-8P 823197-01-9P 823197-02-0P 823197-03-1P 823197-04-2P 823197-05-3P 823197-06-4P 823197-07-5P 823197-08-6P 823197-09-7P 823197-10-0P 823197-11-1P 823197-12-2P 823197-13-3P 823197-14-4P 823197-15-5P 823197-16-6P 823197-17-7P 823197-18-8P 823197-19-9P 823197-20-2P 823197-21-3P 823197-22-4P 823197-23-5P 823197-24-6P 823197-25-7P 823197-26-8P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-[(4-substituted piperazine-1-sulfonylmethyl)alkyl]-N-hydroxyformamides as metalloproteinase inhibitors)

RN 823197-00-8 CAPLUS

CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyrimidinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-01-9 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 823197-02-0 CAPLUS

CN Piperazine, 1-[[4-(5-fluoro-2-pyrimidinyl)-2-(formylhydroxyamino)butyl]sul fonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 823197-03-1 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-2-(tetrahydro-2H-pyran-4-yl)ethyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 823197-05-3 CAPLUS

CN Piperazine, 1-[[4-(5-fluoro-2-pyrimidinyl)-2-(formylhydroxyamino)butyl]sul fonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 823197-06-4 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-2-(tetrahydro-2H-pyran-4-yl)ethyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

RN 823197-07-5 CAPLUS

CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyridinyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-08-6 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyridinyl]- (9CI) (CA INDEX NAME)

RN 823197-09-7 CAPLUS

CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-2-(tetrahydro-2H-pyran-4-yl)ethyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-10-0 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-2-phenylethyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 823197-11-1 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 823197-12-2 CAPLUS

CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-13-3 CAPLUS

CN Piperazine, 1-[[4-(5-fluoro-2-pyrimidinyl)-2-(formylhydroxyamino)butyl]sul fonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 823197-14-4 CAPLUS

CN Piperazine, 1-[[(2S)-4-(5-fluoro-2-pyrimidinyl)-2-(formylhydroxyamino)butyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-15-5 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 823197-16-6 CAPLUS

CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-17-7 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)butyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 823197-18-8 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)propyl]sulfonyl]-4-[4-(1,1,2,2-tetrafluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 823197-19-9 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 823197-20-2 CAPLUS

CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-4-(2-pyrimidinyl)butyl]sulfonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-21-3 CAPLUS

CN Piperazine, 1-[[4-(5-fluoro-2-pyrimidinyl)-2-(formylhydroxyamino)butyl]sul fonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 823197-22-4 CAPLUS

CN Piperazine, 1-[[(2S)-4-(5-fluoro-2-pyrimidinyl)-2-(formylhydroxyamino)butyl]sulfonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-23-5 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

RN 823197-24-6 CAPLUS

CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-25-7 CAPLUS

CN Piperazine, 1-[[(2S)-2-(formylhydroxyamino)-2-(tetrahydro-2H-pyran-4-yl)ethyl]sulfonyl]-4-[4-(2,2,2-trifluoroethoxy)phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

RN 823197-26-8 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-[5-(2,2,2-trifluoroethoxy)-2-pyrimidinyl]- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2008 ACS on STN ACCESSION NUMBER: 2000:161258 CAPLUS <u>Full-text</u>

DOCUMENT NUMBER: 132:207849

TITLE: Preparation of arylpiperazines as metalloproteinase

inhibiting agents (MMP)

INVENTOR(S): Barlaam, Bernard Christophe; Newcombe, Nicholas John;

Tucker, Howard; Waterson, David

PATENT ASSIGNEE(S): Zeneca Limited, UK; Zeneca-Pharma Sa

SOURCE: PCT Int. Appl., 82 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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OTHER SOURCE(S): MARPAT 132:207849

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AB The title compds. [I; B = monocyclic or bicyclic alkyl, aryl, etc.; R3 = H, halo, NO2. etc.; n = 1-3; P = (CH2)n (wherein n = 0-2), alkene, alkyne, etc.; A = (un)substituted 5-7 membered aliphatic ring; X1, X2 = N, C, where a ring substituent on ring A is a oxo group that is preferably adjacent a ring N atom; Y = SO2, CO; Z = CONHOH, Y = CO and Q = CR6R7, CR6R7CH2, NR6, NR6CH2 (wherein R6 = H, alkyl, aralkyl, etc.; R7 = H, alkyl; R7 together with R6 forms a carbocyclic or heterocyclic spiro 5-7 membered ring, the latter containing at least one heteroatom selected from N, O, S); Z = CONHOH, Y = SO2 and Q = CR6R7, CR6R7CH2; Z = N(OH)CHO and Q = CHR6, CHR6CH2, NR6CH2; R1 = H, alkyl, cycloalkyl, etc.; R2 = H, alkyl, aryl, etc.], useful as metalloproteinase inhibitors (no data), especially as inhibitors of MMP 13, in treating arthritis and atherosclerosis, were prepared E.g., a multi-step synthesis of the title piperazine II was given. Compds. I are effective at 0.5-30 mg/kg/day.

IT 260439-08-5P 260439-96-1P 260440-00-4P 260440-21-9P 260441-00-7P 260441-01-8P 260441-02-9P 260441-03-0P 260441-04-1P 260441-05-2P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of arylpiperazines as metalloproteinase inhibiting agents (MMP))

RN 260439-08-5 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-phenylbutyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

260439-96-1 CAPLUS

RN

CN Piperazine, 1-[[2-(formylhydroxyamino)-2-phenylethyl]sulfonyl]-4-(2-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 260440-00-4 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-2-phenylethyl]sulfonyl]-4-(3-methoxyphenyl)- (9CI) (CA INDEX NAME)

RN 260440-21-9 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-2-phenylethyl]sulfonyl]-4-(4-phenoxyphenyl)- (9CI) (CA INDEX NAME)

RN 260441-00-7 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-3-(phenylmethoxy)propyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 260441-01-8 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(2-pyridinyl)butyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 260441-02-9 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-4-(3-pyridinyl)butyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 260441-03-0 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-thienyl)pentyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 260441-04-1 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyrimidinyl)pentyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

RN 260441-05-2 CAPLUS

CN Piperazine, 1-[[2-(formylhydroxyamino)-5-(2-pyridinyl)pentyl]sulfonyl]-4-(6-methoxy-4-pyrimidinyl)- (9CI) (CA INDEX NAME)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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(FILE 'HOME' ENTERED AT 18:12:09 ON 15 JAN 2008)

FILE 'REGISTRY' ENTERED AT 18:12:52 ON 15 JAN 2008

L1 STRUCTURE UPLOADED

L2 51 S L1 FULL

FILE 'CAPLUS' ENTERED AT 18:13:55 ON 15 JAN 2008

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